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NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
NEWS	5	NOV		Two new SET commands increase convenience of STN
	•		_ •	searching
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NEWS	7	DEC		GBFULL now offers single source for full-text
				coverage of complete UK patent families
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NEWS	9	JAN	06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN	07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB	06	Patent sequence location (PSL) data added to USGENE
NEWS	14	FEB	10	COMPENDEX reloaded and enhanced
NEWS	15	FEB	11	WTEXTILES reloaded and enhanced
NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art.
NEWS	17	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	23	MAR	06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants

NEWS 25 MAR 11 ESBIOBASE reloaded and enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

FULL ESTIMATED COST

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=>

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L1 STRUCTURE UPLOADED

=> s 11

SAMPLE SEARCH INITIATED 21:13:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 40 TO ITERATE

100.0% PROCESSED 40 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 421 TO 117

PROJECTED ITERATIONS: 421 TO 1179
PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 21:13:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 693 TO ITERATE

100.0% PROCESSED 693 ITERATIONS 201 ANSWERS

SEARCH TIME: 00.00.01

L3 201 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
185.88
186.10

FILE 'HCAPLUS' ENTERED AT 21:13:48 ON 12 MAR 2009
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FILE COVERS 1907 - 12 Mar 2009 VOL 150 ISS 11 FILE LAST UPDATED: 11 Mar 2009 (20090311/ED)

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=> file req

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 2.85 188.95

FILE 'REGISTRY' ENTERED AT 21:14:02 ON 12 MAR 2009
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STRUCTURE FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2 DICTIONARY FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2

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L4 STRUCTURE UPLOADED

=> s 14

SAMPLE SEARCH INITIATED 21:15:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 243 TO 877
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s 14 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 21:15:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 449 TO ITERATE

100.0% PROCESSED 449 ITERATIONS 8 ANSWERS

SEARCH TIME: 00.00.01

L6 8 SEA SSS FUL L4

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 186.36 375.31

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 21:15:11 ON 12 MAR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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=> s 16/rct

13 L6

3232764 RCT/RL

L7 3 L6/RCT

(L6 (L) RCT/RL)

=> d his

(FILE 'HOME' ENTERED AT 21:12:57 ON 12 MAR 2009)

FILE 'REGISTRY' ENTERED AT 21:13:04 ON 12 MAR 2009

L1 STRUCTURE UPLOADED

L2 12 S L1

L3 201 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 21:13:48 ON 12 MAR 2009

FILE 'REGISTRY' ENTERED AT 21:14:02 ON 12 MAR 2009

L4 STRUCTURE UPLOADED

L5 0 S L4

L6 8 S L4 FULL

FILE 'HCAPLUS' ENTERED AT 21:15:11 ON 12 MAR 2009

L7 3 S L6/RCT

=> s 13

L8 2418 L3

=> s 18 and 17

L9 0 L8 AND L7

=> s 13 and 17

2418 L3

L10 0 L3 AND L7

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

5.70 381.01

FULL ESTIMATED COST

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L11 STRUCTURE UPLOADED

=> s 111 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 21:21:12 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 51805 TO ITERATE

100.0% PROCESSED 51805 ITERATIONS

85 ANSWERS

SEARCH TIME: 00.00.01

L12 85 SEA SSS FUL L11

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
189.24
570.25

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=> s 112/prep

126 L12

4736176 PREP/RL

L13 57 L12/PREP

(L12 (L) PREP/RL)

=> d his

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FILE 'REGISTRY' ENTERED AT 21:13:04 ON 12 MAR 2009

STRUCTURE UPLOADED T.1 L2 12 S L1 T.3 201 S L1 FULL FILE 'HCAPLUS' ENTERED AT 21:13:48 ON 12 MAR 2009 FILE 'REGISTRY' ENTERED AT 21:14:02 ON 12 MAR 2009 L4STRUCTURE UPLOADED L50 S L4 L6 8 S L4 FULL FILE 'HCAPLUS' ENTERED AT 21:15:11 ON 12 MAR 2009 L7 3 S L6/RCT 2418 S L3 Г8 0 S L8 AND L7 L9 0 S L3 AND L7 L10 FILE 'REGISTRY' ENTERED AT 21:16:11 ON 12 MAR 2009 L11 STRUCTURE UPLOADED L12 85 S L11 FULL FILE 'HCAPLUS' ENTERED AT 21:21:16 ON 12 MAR 2009 L13 57 S L12/PREP => s 113 and 17 L140 L13 AND L7 => s 13 and 113 2418 L3 0 L3 AND L13 T.15 => file reg COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 5.70 575.95

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L16 STRUCTURE UPLOADED

=> s 116

SAMPLE SEARCH INITIATED 21:24:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 9 TO 36

PROJECTED ITERATIONS: 9 TO 360 PROJECTED ANSWERS: 0 TO 0

L17 0 SEA SSS SAM L16

=> s 116 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 21:24:19 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 187 TO ITERATE

100.0% PROCESSED 187 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L18 0 SEA SSS FUL L16

=>

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L19 STRUCTURE UPLOADED

=> s 119

SAMPLE SEARCH INITIATED 21:28:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 111 TO ITERATE

100.0% PROCESSED 111 ITERATIONS 16 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 1588 TO 2852

PROJECTED TIERATIONS: 1588 TO 2852 PROJECTED ANSWERS: 80 TO 560

L20 16 SEA SSS SAM L19

=> s 119 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 21:28:05 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 2172 TO ITERATE

100.0% PROCESSED 2172 ITERATIONS 267 ANSWERS

SEARCH TIME: 00.00.01

L21 267 SEA SSS FUL L19

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 375.60 951.55

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=> s 121/rct

L22

280 L21 3232764 RCT/RL 119 L21/RCT

(L21 (L) RCT/RL)

=> s 121/prep

280 L21 4736176 PREP/RL

L23 190 L21/PREP

(L21 (L) PREP/RL)

=> s 123 and 122

L24 64 L23 AND L22 => s 124 and saponif? 19164 SAPONIF? 55927 SAPON 92 SAPONS 55973 SAPON (SAPON OR SAPONS) 29762 SAPOND 1 SAPONDS 29763 SAPOND (SAPOND OR SAPONDS) 3227 SAPONG 90651 SAPONIF? (SAPONIF? OR SAPON OR SAPOND OR SAPONG) L25 9 L24 AND SAPONIF? => s 125 and oxidiz? 448349 OXIDIZ? L26 0 L25 AND OXIDIZ? => s 126 and levy, m?/au 2253 LEVY, M?/AU L27 0 L26 AND LEVY, M?/AU  $\Rightarrow$  d 125, ibib abs hitstr, 1-9 L25 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:777757 HCAPLUS 139:292146 DOCUMENT NUMBER: TITLE: Preparation of (benzyloxy) phthalimides as inhibitors of monoamine oxidase B INVENTOR(S): Cesura, Andrea; Rodriguez Sarmiento, Rosa Maria; Thomas, Andrew William; Wyler, Rene PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz. SOURCE: PCT Int. Appl., 42 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003080573 A1 20031002 WO 2003-EP2931 20030320 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

A1 20031016 US 2003-387950 20030313

US 20030195208

US	6660736			В2	2003	1209								
CA	2477771			A1	2003	1002	CA	2003-	2477	771		2	0030	320
AU	20032266	80		A1	2003	1008	AU	2003-	2266	80		2	0030	320
EP	1490334			A1	2004	1229	EP	2003-	7448	25		2	0030	320
	R: AT,	BE,	CH,	DE,	DK, ES,	FR,	GB, G	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE,	SI,	LT,	LV,	FI, RO,	MK,	CY, A	L, TR,	BG,	CZ,	EE,	HU,	SK	
BR	20030087	86		Α	2005	0111	BR	2003-	8786			2	0030	320
CN	1642911			Α	2005	0720	CN	2003-	8070	96		2	0030	320
CN	1277820			С	2006	1004								
JP	20055267	96		T	2005	0908	JP	2003-	5783	28		2	0030	320
JP	4202270			В2	2008	1224								
RU	2317289			C2	2008	0220	RU	2004-	1316	51		2	0030	320
US	20040229	871		A1	2004	1118	US	2003-	6578	57		2	0030	909
US	6903095			В2	2005	0607								
MX	20040093	35		A	2005	0125	MX	2004-	9335			2	0040	924
PRIORITY	APPLN.	INFO	.:				EP	2002-	7222		i	A 2	0020	327
							US	2003-	3879	50	i	A3 2	0030	313
							WO	2003-	EP29	31	1	N 2	0030	320

Ι

OTHER SOURCE(S): MARPAT 139:292146 GI

$$(R^4)_m$$
 $0$ 
 $X$ 
 $R^1$ 
 $R^2$ 

$$\begin{array}{c|c} & & & & \\ & &$$

Title compds. I [wherein X = N or CH; R1 = CONR5R6, CHR7(CH2)nCONR5R6, (CH2)nNR5R6, (CH2)nCO2R8, (CH2)nCN, CHR7(CH2)nCF3, (CH2)nNHCOR9, (CH2)nNHCO2R9, (CH2)pOR8, (CH2)pSR8, (CH2)pSOR9, (CH2)nCSNR5R6, or (un)substituted (CH2)n-piperidinyl, (CH2)n-morpholinyl, (CH2)n-tetrahydrofuranyl, (CH2)n-thiophenyl, (CH2)n-isoxazolyl, (CH2)n-Ph; R2 = H, alkyl, (CH2)pOR10, (CH2)pSR10, or CH2Ph; R3, R5, R6, R8, and R10 = independently H or alkyl; R4 = H, haloalkyl, CN, or (halo)alkoxy; R7 = H, OH, or alkoxy; R9 = alkyl; m = 1-3; n = 0-2; p = 1-2; and pharmaceutically acceptable salts thereof] were prepared as highly selective monoamine oxidase B (MAO-B) inhibitors. For example, reaction of 4-hydroxyphthalic acid with 4-fluorobenzyl bromide in the presence of K2CO3 in acetone and

H2O gave 4-(4-fluorobenzyloxy)phthalic acid bis(4-fluorobenzyl)ester (80%). Sapon. with LiOH•H2O in THF afforded the acid (56%). Cyclocondensation with alaninamide•HCl using carbonyldiimidazole in 1-methyl-2-pyrrolidinone provided the title isoindole II (49%). The latter preferentially inhibited the enzymic activity of human MAO-B over human MAO-A with IC50 values of 0.008  $\mu\text{M}$  and 0.776  $\mu\text{M}$ , resp. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by MAO-B, such as Alzheimer's disease and senile dementia (no data).

(intermediate; preparation of (benzyloxy)phthalimide MAO-B selective inhibitor by cyclocondensation of phthalic acids and amino acids or amines for treatment of Alzheimer's disease and dementia)

RN 114526-80-6 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 2,3-diethyl ester, 1-oxide (CA INDEX NAME)

RN 2050-22-8 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 2,3-diethyl ester (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:436082 HCAPLUS

DOCUMENT NUMBER: 127:50632

ORIGINAL REFERENCE NO.: 127:9661a,9664a

TITLE: Preparation of cyclic amic acid derivatives as inhibitors of protein-farnesyl transferase and

antitumor agents

INVENTOR(S): Iwasawa, Yoshikazu; Aoyama, Tetsuya; Kawakami, Kumiko;

Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki

PATENT ASSIGNEE(S): Banyu Pharmaceuticals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9717321 W: AU, CA, CN,	A1 19970515	WO 1996-JP3239	19961106
RW: AT, BE, CH,	DE, DK, ES, FI,	FR, GB, GR, IE, IT,	
AU 9675051 PRIORITY APPLN. INFO.:	A 19970529	AU 1996-75051 JP 1995-313625 WO 1996-JP3239	19961106 A 19951107 W 19961106

OTHER SOURCE(S): MARPAT 127:50632

GΙ

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Compds. of general formula [I; wherein Ar1, Ar2 and Ar3 = aryl or AB heteroaryl; Cy = aryl, heteroaryl, alicyclic; Q = (CH2)m (m = an integer of 1 to 6) or (CH2)n-W-(CH2)p (W = oxygen, sulfur, vinylene or ethynylene; n, p = an integer of 0 to 3); R1 = H, halo, OH, (un)substituted lower alkyl or alkoxy; R2, R7, R8 = H, halo, OH, lower alkyl or alkoxy; R3, R4 = H, halo, OH, NH2, NO2, cyano, CO2H, lower alkoxycarbonyl, CONH2, lower alkylcarbamoyl, lower alkyl, hydroxyalkyl, fluoroalkyl, or alkoxy; R5 = lower alkyl; R6 = H, lower alkyl; R9, R10 = H, OH, lower alkyl; R11 = OH, CO2H, lower alkyl, hydroxyalkyl, or alkoxy; p, n = an integer of 0 to 2; m = 0 or 1] or pharmaceutically acceptable salts and esters thereof are prepared An antitumor agent containing I as the active ingredient is claimed. Thus, a 5-carbamoyl-1,3-dioxolane-2,2,4-tricarboxylic acid derivative (II; R = CHO, R12 = Me, R13 = Et) (preparation given) underwent Wittig reaction with 2-benzoxazolylmethyltriphenylphosphonium chloride using NaH in THF followed by sapon. with LiOH in aqueous THF and acidification with 1 N aqueous HCl to give II (R = Q, R12 = R13 = H). The latter compound in vitro showed IC50 of 0.1 nM for inhibiting protein-farnesyl transferase and 3.6 nM for inhibiting the farnesylation of Ras protein in activated ras gene-transformed NIH3T3 cells and in vivo inhibited the proliferation of activated human Ha-ras-transformed cells (NIH/ras) transplanted in mice by 23, 41, and 82% at 20, 40, and 80 mg/kg i.p.

IT 191088-50-3P 191088-51-4P 191088-52-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclic amic acid derivs. as inhibitors of protein-farnesyl transferase and antitumor agents)

RN 191088-50-3 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(2-carboxyethyl)-, 2,3-diethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 191088-51-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-[3-(1,1-dimethylethoxy)-3-oxo-1-propen-1-yl]-, 2,3-diethyl ester (CA INDEX NAME)

RN 191088-52-5 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-[3-(1,1-dimethylethoxy)-3-oxopropyl]-, 2,3-diethyl ester (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:457528 HCAPLUS

DOCUMENT NUMBER: 121:57528

ORIGINAL REFERENCE NO.: 121:10380h, 10381a

TITLE: Preparation of pyridopyrimidinones as cGMP

phosphodiesterase inhibitors

INVENTOR(S): Bell, Andrew Simon; Terrett, Nicholas Kenneth PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.; Pfizer Research and

Development Co., N.V./S.A.

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.			KIND DATE		APPLICATION NO.				DATE							
WO	9405	 661			A1	_	1994	0317	Ī	WO	1993-	EP20	 97		1	 9930	804
	W:	CA,	FΙ,	JP,	US												
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IE,	ΙT,	LU,	MC,	NL,	PT,	SE
EP	65689	98			A1		1995	0614	]	ΕP	1993-	9177	61		1	9930	804
EP	65689	98			В1		1997	0122									
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IE,	ΙT,	LI,	LU,	NL,	PT,	SE
JP	0750	6838			T		1995	0727		JΡ	1993-	5067	86		1	9930	804
AT	1481	18			Т		1997	0215	2	ΑT	1993-	9177	61		1	9930	804
ES	20969	936			Т3		1997	0316		ES	1993-	9177	61		1	9930	804
CA	21382	298			С		1998	0414	(	CA	1993-	2138	298		1	9930	804
US	5591	742			A		1997	0107	1	US	1995-	3795	31		1	9950	131
FI	95008	889			A		1995	0227	]	FΙ	1995-	889			1	9950	227
FI	11248	84			В1		2003	1215									
PRIORIT	Y APP	LN.	INFO	. :					(	GB	1992-	1832	2		A 1	9920	828
									1	WO	1993-	EP20	97	1	W 1	9930	804

OTHER SOURCE(S): MARPAT 121:57528

GΙ

$$R^{2O}$$
  $HN$   $N$   $H_{2}N$   $N$   $O$   $Pr$   $II$ 

AB Title compds. [I; R1 = H, alkyl, cyano, CONR4R5; R2 = alkyl; R3 = SO2NR6R7, NO2, NH2, NHCOR8, NHSO2R8, N(SO2R8)2; R4,R5 = H, alkyl; R6,R7 = H, (substituted)alkyl; NR6R7 = pyrrolidino, piperidino, morpholino, etc.; R8 = alkyl, pyridyl] were prepared Thus, EtO2CCHClCOCO2Et was cyclocondensed with PrCH:CHCHO and H2NSO3NH4 and the product converted in 5 steps to aminonicotinamide II which was cyclized to give I (R1 = Pr, R2 = Et)(III; R3 = H). The latter was sulfonated with ClSO3H and the product

condensed with Et isonipecotate to give, after sapon., III (R3 = 4-carboxypiperidinosulfonyl) which had IC50 of 1.2nM against cGMP phosphodiesterase in vitro.

IT 155879-79-1P

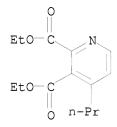
RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of cGMP phosphodiesterase inhibitor)

RN 155879-79-1 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 4-propyl-, 2,3-diethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:270130 HCAPLUS

DOCUMENT NUMBER: 120:270130

ORIGINAL REFERENCE NO.: 120:47851a,47854a

TITLE: 5,6-disubstituted-3-pyridylmethylammonium halide

compounds useful for the preparation of 5-(substituted

methyl)-2,3-pyridinedicarboxylic acids

INVENTOR(S): Strong, Henry L.

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 812,520,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5288866	A	19940222	US 1992-960749	19921014
AT 151752	T	19970515	AT 1992-119537	19921116
ES 2100261	Т3	19970616	ES 1992-119537	19921116
SK 280466	В6	20000214	SK 1992-3665	19921215
SK 280477	В6	20000214	SK 1998-1400	19921215
CZ 286513	В6	20000517	CZ 1992-3665	19921215
JP 05255257	A	19931005	JP 1992-353923	19921216
JP 3107672	B2	20001113		
IL 104134	A	19970610	IL 1992-104134	19921217
CA 2085802	A1	19930621	CA 1992-2085802	19921218
CA 2085802	С	20030916		

BR 9205097		A	19930622	RR	1992-5097		19921218
AU 9230280		A	19930624		1992-30280		19921218
				AU	1992-30280		19921210
AU 652874		B2	19940908				
ZA 9209877		A	19930702		1992-9877		19921218
HU 64052		A2	19931129	HU	1992-4021		19921218
HU 217563		В	20000228				
HU 218004		В	20000528	HU	1996-2838		19921218
CN 1094398		A	19941102	CN	1993-105332		19930430
CN 1042333		С	19990303				
RU 2090558		C1	19970920	RU	1993-5302		19930511
US 5378843		A	19950103	US	1993-156205		19931122
US 5545835		A	19960813	US	1994-334297		19941104
CZ 286519		B6	20000517	CZ	1997-1082		19970409
CN 1190094		A	19980812	CN	1998-103644		19980113
CN 1067379		С	20010620				
PRIORITY APPLN.	INFO.:			US	1991-812520	В2	19911220
				US	1992-960749	A	19921014
				CS	1992-3665	A	19921215
				HU	1992-4021	A	19921218
				US	1993-156205	A3	19931122
	_		- 400 00040				

OTHER SOURCE(S): CASREACT 120:270130; MARPAT 120:270130 GI

$$X^-Q^+H_2C$$
 $Z^ CY$ 
 $CY^ CY^ CY^ CY^-$ 

AB A method for the preparation of 5,6-disubstituted-3-pyridylmethylammonium halide compds. I (Z = H, halo; Z1 = H, halo, cyano, nitro; X = C1, Br, iodo, alkylsulfonyl; Y and Y1 = alkoxy, amino; Q = cyclic or hydrocarbyl ammonium) is provided. I can be used for the preparation of 5-(substituted methyl)-2,3-pyridinedicarboxylic acids. Thus, bromination of di-Me 5-methyl-2,3-pyridinedicarboxylate with NBS in the presence of 2,2'-azobisisobutyronitrile in CC14 gave 57% di-Me 5-(bromomethyl)-2,3-pyridinedicarboxylate which on treatment with amines in EtOH gave I.

IT 105151-48-2

RL: RCT (Reactant); RACT (Reactant or reagent) (bromination and sequential reaction of, with amine)

RN 105151-48-2 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-methyl-, 2,3-diethyl ester (CA INDEX NAME)

RN 112110-16-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-methyl-, 2,3-dimethyl ester (CA INDEX NAME)

IT 136592-86-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with aromatic amines)

RN 136592-86-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(bromomethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

IT 150514-78-6P

RN 150514-78-6 HCAPLUS

CN 3-Pyridinemethanaminium, 5,6-bis(methoxycarbonyl)-N,N,N-trimethyl-, bromide (1:1) (CA INDEX NAME)

• Br-

CN 2,3-Pyridinedicarboxylic acid, 5-(dibromomethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

RN 139123-56-1 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(methoxymethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

RN 150514-79-7 HCAPLUS

CN Pyridinium, 1-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-, bromide (1:1) (CA INDEX NAME)

• Br-

RN 150514-80-0 HCAPLUS

CN Pyridinium, 1-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-4-methyl-, bromide (1:1) (CA INDEX NAME)

● Br-

RN 150514-81-1 HCAPLUS

CN Pyrazinium, 1-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-, bromide (1:1) (CA INDEX NAME)

MeO-C
$$CH_2$$
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 

• Br-

RN 150514-82-2 HCAPLUS

CN Pyridazinium, 1-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-, bromide (1:1) (CA INDEX NAME)

• Br-

RN

150514-83-3 HCAPLUS Quinolinium, 1-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-, bromide CN (1:1) (CA INDEX NAME)

● Br-

RN150514-84-4 HCAPLUS

Isoquinolinium, 2-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-, bromide CN (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C - OMe \\ \hline \\ C - OMe \\ \hline \\ C - OMe \\ \hline \\ O \end{array}$$

● Br-

RN 150514-85-5 HCAPLUS

CN Thiazolium, 3-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-4,5-dimethyl-, bromide (1:1) (CA INDEX NAME)

• Br-

RN 150514-86-6 HCAPLUS

CN Thiazolium, 3-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-4-methyl-, bromide (1:1) (CA INDEX NAME)

• Br-

RN 150514-87-7 HCAPLUS

CN 1H-Imidazolium, 3-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-1-methyl-, bromide (1:1) (CA INDEX NAME)

• Br-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 150514-88-8 HCAPLUS
CN Benzothiazolium, 3-[[5,6-bis(methoxycarbonyl)-3-pyridinyl]methyl]-,
bromide (1:1) (CA INDEX NAME)

• Br-

RN 154559-13-4 HCAPLUS

CN 3-Pyridinemethanaminium, 5,6-bis(ethoxycarbonyl)-N,N,N-trimethyl-, bromide (1:1) (CA INDEX NAME)

● Br-

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:583295 HCAPLUS

DOCUMENT NUMBER: 115:183295

ORIGINAL REFERENCE NO.: 115:31313a,31316a

TITLE: Preparation of pyridinedicarboxylates, their

conversion to

(dioxacycloalkyl) (oxoimidazolidinyl) nicotinates in

preparation of herbicides

INVENTOR(S): Finn, John Michael

PATENT ASSIGNEE(S): American Cyanamid Co., USA SOURCE: Eur. Pat. Appl., 110 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.				KIND	DATE		PPLICATION NO.		DATE		
		434965			A2		E:	P 1990-122074			19901119	
		434965										
	EP	434965		~		19980520		OD TH T.		~ .	_	
		•	•					GR, IT, LI, LU,				
		5026859			A	19910625	U	S 1989-457607 S 1989-457606			19891227	
		5039333			A	19910813	U	5 1989-45/606			19891227	
		166350			T T3	19980615	A'	Г 1990-122074			19901119	
	_							S 1990-122074				
		96429			A			L 1990-96429			19901121	
		109336			A	19950526		L 1990-109336			19901121	
		9068383				19910704		J 1990-68383			19901221	
		637857			B2	19930610						
					A1	19910628	C.	A 1990-2033143			19901224	
		2033143			С	20040921						
		04120074				19920421		₽ 1990-413664			19901225	
	-	3157173			B2	20010416						
		9006596			A	19911001		R 1990-6596			19901226	
		5225564			A	19930706	-	S 1991-694708			19910502	
		5239070			Α	19930824		S 1991-714548				
					A	19940201		S 1993-36120				
		5344935			A	19940906		S 1993-68363			19930527	
	US	5405827			A	19950411	U	S 1993-140776			19931021	
PRIOR	YTI:	APPLN.	INFO	. :			-	S 1989-457606			19891227	
							U	S 1989-457607		Α	19891227	
								L 1990-96429				
							U	S 1991-694708		AЗ	19910502	
								S 1991-714548		_		
								5 1993-36120		ΑЗ	19930323	

OTHER SOURCE(S): CASREACT 115:183295; MARPAT 115:183295

GI

AB Certain 2,3-pyridinedicarboxylates, e.g., di-Me 5-(1,3-dioxolan-2-yl)- or di-Me 5-(1,3-dioxepan-2-yl)-2,3-pyridinedicarboxylate, fused pyridinecarboxylates (no data), and 2-(5-oxo-1H-imidazol-2-yl)-3-pyridinecarboxylates

[(5-oxo-1H-imidazol-2-yl)nicotinates] are claimed. Several methods for the preparation of these 2,3-pyridinedicarboxylates and also for the preparation of

fused pyridinecarboxylate derivs. are claimed. Some of the compds. thus prepared were screened for herbicidal activity. Cyclocondensation reaction of 2-[N-(1-carbamoyl-1,2-dimethylpropyl)carbamoyl]-5-(1,3-dioxolan-2-yl)nicotinic acid gave 5% <math>2-[4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-5-(1,3-dioxolan-2-yl)nicotinic acid (I). I was screened as herbicide against Echinochloa crusgalli, Ambrosia artemisiifolia, etc., and against sugarbeets, corn, cotton, and soybeans.

RN 112110-16-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-methyl-, 2,3-dimethyl ester (CA INDEX NAME)

IT 136592-90-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and acetal cleavage of)

RN 136592-90-0 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(dimethoxymethyl)-6-methyl-, 2,3-dimethyl ester (CA INDEX NAME)

IT 136592-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion of, to [oxomethyl(methylethyl)imidazolidinyl]pyrdidinecarboxylic acid or (dioxacycloalkyl)pyridinedicarboxylate or acetal cleavage of)

RN 136592-91-1 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(dimethoxymethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

136592-85-3P, Dimethyl 5-(dibromomethyl)-2,3-pyridinedicarboxylate 136592-86-4P, Dimethyl 5-(bromomethyl)-2,3-pyridinedicarboxylate RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion of, to acetal)

RN 136592-85-3 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(dibromomethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

RN 136592-86-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(bromomethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

IT 136592-92-2P

RN 136592-92-2 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-formyl-, 2,3-dimethyl ester (CA INDEX NAME)

L25 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:204620 HCAPLUS

DOCUMENT NUMBER: 108:204620

ORIGINAL REFERENCE NO.: 108:33629a,33632a

TITLE: Preparation and testing of arylimidazoles as

herbicides

INVENTOR(S): Astles, David Phillip; Flood, Andrew

PATENT ASSIGNEE(S): Shell Internationale Research Maatschappij B. V.,

Neth.

SOURCE: Brit. UK Pat. Appl., 17 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2192877 PRIORITY APPLN. INFO.:	 A	19880127	GB 1986-17898 GB 1986-17898	19860722 19860722
OTHER SOURCE(S): GI	CASRE	13000722		

The title compds. (I; R1 = OR8, alkyl, alkenyl, alkynyl, cycloalkyl, Ph, furyl, PhCH2; R2 = H, acyl; R1R2 = bond; R3, R5 = H, halo, NO2, cyano, Q; R4 = H, halo, OH, NO2, Q; R6 = alkyl, cycloalkyl; R7 = alkyl, cycloalkyl, alkenyl, Ph, PhCH2; R8 = H, salt-forming cation; W = N, CH; one of L, M = CO, the other = CR6R7; Q= XYZC; X = cyano, thiol, amino, oximino, etc.; Y = H, alkyl, X; Z = H, alkyl) were prepared as herbicides. Di-Me 5-ethylpyridine-2,3-dicarboxylate was successively photobrominated with NBS, condensed with NaSMe, sapond. with aqueous NaOH, refluxed with Ac2O to yield an anhydride, and condensed with 2-amino-2,3-dimethylbutyramide to give 2-[(1-carbonyl-1,2-dimethylpropyl)carbonyl]-[5-[1-

methylthio)ethyl]nicotinic acid, which was cyclized in 3 M NaOH to give 2-(5-isopropyl-5-methyl-4-oxo-2-imidazolin-2-yl)-5-[1-(methylthio)-ethyl]nicotinic acid (II). At 1 kg/ha preemergent, II gave complete control of Echinochloa crusgalli.

IT 112112-37-5

RN 112112-37-5 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-ethyl-, 2,3-dimethyl ester (CA INDEX NAME)

IT 114311-40-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and condensation of, with methanethiol)

RN 114311-40-9 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(1-bromoethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

IT 114311-41-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and sapon. of)

RN 114311-41-0 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-[1-(methylthio)ethyl]-, 2,3-dimethyl ester (CA INDEX NAME)

L25 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:94556 HCAPLUS

DOCUMENT NUMBER: 108:94556

ORIGINAL REFERENCE NO.: 108:15555a,15558a

TITLE: Preparation of 2-(imidazol-2-yl)pyridine-3-carboxylic

acid derivatives as herbicides

INVENTOR(S): Numata, Tatsuo; Hatanaka, Masataka; Watanabe, Junichi;

Igai, Takashi; Nawamaki, Tsutomu; Hattori, Kenji

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62174069	 A	19870730	JP 1986-13040	19860124
JP 07000611	В	19950111		
PRIORITY APPLN. INFO.:			JP 1986-13040	19860124

AB The title compds. [I; R4 = Q; W = O, S; X = H, (halo)alkyl, alkylsulfonylmethyl, alkoxymethyl, alkylthiomethyl, PhCH2, (un)substituted Ph or pyridyl; Y = O, S, monosubstituted NH, disubstituted CH2; R = H, (dialkyl)NH, (un)substituted alkyl, (un)substituted alkenyl, alkynyl, (un)substituted cycloalkyl, (un)substituted NH4+, alkali or alkaline earth metal; R1 = alkyl; R2 = (cyclo)alkyl; CR1R2 = (alkyl)cycloalkylene; R3 = H, halo, alkyl(thio), alkoxy, phenoxy, (halo)alkoxy, alkylsulfonyl], useful as herbicides, were prepared A mixture of 2.0 g 5-ethenyl-6-methylpyridine-2,3-dicarboxylic acid anhydride and 1.5 g H2NCMe(CHMe2)CONH2 in pyridine was vigorously stirred overnight to give a crude I [R4 = CONHCMe(CHMe2)CONH2, R = X = H, R3 = Me, Y = CH2] which was treated with aqueous NaOH at 80° for 3 h to give, after acidification

with aqueous HCl, 1.1 g I (R4 = Q, W = O, X = R = H, Y = CH2, R1 = R3 = Me, R2 = CHMe2) (II). Postemergence treatment with II at 0.63 kg/ha completely controlled all 12 weeds tested, e.g., Echinochloa crus-galli showing no damage to soybean.

IT 113051-91-5P 113051-94-8P

RN 113051-91-5 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(1-hydroxyethyl)-, 2,3-diethyl ester (CA INDEX NAME)

RN 113051-94-8 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(1-hydroxyethyl)-6-methyl-, 2,3-diethyl ester (CA INDEX NAME)

IT 113051-88-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of)

RN 113051-88-0 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-acetyl-, 2,3-diethyl ester (CA INDEX NAME)

IT 113051-89-1P 113051-90-4P 113051-92-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation and sapon. of)

RN 113051-89-1 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-benzoyl-, 2,3-diethyl ester (CA INDEX NAME)

RN 113051-90-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-ethenyl-, 2,3-diethyl ester (CA INDEX NAME)

RN 113051-92-6 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-ethenyl-6-methyl-, 2,3-diethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{C} & \text{C} & \text{Me} \\ \text{EtO-C} & \text{CH-CH}_2 \\ \text{C} & \text{C} & \text{C} \end{array}$$

IT 113051-93-7P 113051-95-9P 113051-99-3P

113052-00-9P 113052-01-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate for herbicidal imidazolylpyridine derivative)

RN 113051-93-7 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-acetyl-6-methyl-, 2,3-diethyl ester (CA

INDEX NAME)

RN 113051-95-9 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(2-methyl-1-oxopropyl)-, 2,3-diethyl ester (CA INDEX NAME)

RN 113051-99-3 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(2-bromoacetyl)-6-methyl-, 2,3-diethyl ester (CA INDEX NAME)

RN 113052-00-9 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-(2-methyl-1-propen-1-yl)-, 2,3-diethyl ester (CA INDEX NAME)

RN 113052-01-0 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-benzoyl-6-methyl-, 2,3-diethyl ester (CA INDEX NAME)

IT 113051-93-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reduction of)

RN 113051-93-7 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-acetyl-6-methyl-, 2,3-diethyl ester (CA INDEX NAME)

L25 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1987:213943 HCAPLUS

DOCUMENT NUMBER: 106:213943

ORIGINAL REFERENCE NO.: 106:34721a,34724a

TITLE: Herbicidal 2-(2-imidazolin-2-yl)pyridine derivatives

INVENTOR(S): Los, Marinus

PATENT ASSIGNEE(S): American Cyanamid Co., USA SOURCE: Brit. UK Pat. Appl., 361 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 21743 <b>9</b> 5	А	19861105	GB 1986-11303	19860509
PRIORITY APPLN. INFO.:			GB 1986-11303	19860509
OTHER SOURCE (S).	CASDET	CT 106,2139	/3 · MADDAT 106 · 2139//3	

OTHER SOURCE(S): CASREACT 106:213943; MARPAT 106:213943

GΙ

The title compds. [I; R1 = C1-4 alky1; R2 = C1-4 alky1, C3-6 cycloalky1; R1R2 = (Me-substituted) C2-5 alkylene; R3 = (un)modified CO2H, acyl, HOCH2, carboxyalky1, oxazolidiny1, (substituted) alkeny1, alkyny1, cycloalky1, etc; R4 = H, halo, OH, Me; R5, R6 = H, halo, (substituted) C1-6 alky1, hydroxyalky1, C1-6 alkoxy, C1-4 alkylthio, PhO, NO2, cyano, amino; R5R6 = atoms to complete a fused, (un)subst. aromatic ring; R7 = H, (substituted) acyl, sulfony1; X = O, S] and related compds. were prepared as herbicides. Thus, pyrrolopyridineacetamide II was treated successively with diazabicycloundcene and MeOH to give I (R1 = Me, R2 = Me2CH, R3 = CO2Me, R4-R7 = H, X = O). This was sapond. and treated with Et3N to give I.Et3N (R1 = Me, R2 = Me2CH, R3 = CO2H, R4-R7 = H, X = O) (III). At 0.032 kg/ha III gave a complete kill of quackgrass.

IT 39632-98-9P 92487-60-0P 92487-61-1P 92487-62-2P 92487-63-3P 92487-64-4P 107504-14-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and sapon. of)

RN 39632-98-9 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 6-phenyl-, 2,3-dimethyl ester (CA INDEX NAME)

RN 92487-60-0 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 6-(4-chlorophenyl)-, 2,3-dimethyl ester (CA INDEX NAME)

RN 92487-61-1 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 6-(4-methylphenyl)-, 2,3-dimethyl ester (CA INDEX NAME)

RN 92487-62-2 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 6-ethyl-, 2,3-dimethyl ester (CA INDEX NAME)

RN 92487-63-3 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 6-propyl-, 2,3-dimethyl ester (CA INDEX NAME)

RN 92487-64-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 6-(1-methylethyl)-, 2,3-dimethyl ester (CA INDEX NAME)

RN 107504-14-3 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5,6-dimethyl-, 2,3-dimethyl ester (CA INDEX NAME)

L25 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1953:778 HCAPLUS

DOCUMENT NUMBER: 47:778

ORIGINAL REFERENCE NO.: 47:134d-i,135a-e

TITLE: Pyridine syntheses. I. Some reactions of "ene amines"

with 1, 3-dicarbonyl derivatives

AUTHOR(S): Bottorff, Edmond M.; Jones, Reuben G.; Kornfeld,

Edmund C.; Mann, Marjorie J.

CORPORATE SOURCE: Lilly Research Labs., Indianapolis, IN

SOURCE: Journal of the American Chemical Society (1951), 73,

4380-3

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal LANGUAGE: Unavailable CASREACT 47:778

cf. C.A. 46, 983b. Condensations of ene amines, MeC(NH2):CHCN (I), MeC(NH2):CHCO2Et (II), MeC:NHCH2COMe (III), with EtOCH:C(CO2Et)COCO2Et (IV), EtCOC(:CHOEt)COCO2Et (V), and related compds. were carried out in an attempt to prepare 2,3,4,5-tetrasubstituted pyridines suitable for conversion to vitamin B6. Instead of the desired compds., the pyridines obtained were invariably substituted in the 2, 3, 5, 6-positions. IV (89 g.) and 62 g. II heated several hrs. on the steam bath and the product distilled in vacuo yielded 26 g. di-Et 2, 6-dimethyl-3, 5-pyridinedicarboxylate (VI); the residue on extraction with hot petr. ether (60-8°) left 2.5 g. white crystals, probably 3-acetyl-5-carbethoxy-6-methyl-2-pyridone (VII), m. 210-13°; the

cooled petr. ether filtrate gave a solid (10.5 g.), m. 102.5-3.5°, probably EtO2CC(Ac): CHNHC(:CMe2)CO2Et (VIII). The same experiment with 13 g. II and 16 g. HOCH:C(CO2Et)COCO2Et (IX) let stand 12 days at room temperature yielded 7.5 g. VI and 6.7 g. VIII. VI (35 g.) and 18 g. KOH refluxed 45 min. in 500 cc. absolute EtOH, filtered, the filtrate evaporated, the dried residue (24 g.) and 47 g. CaO in 40 cc. water distilled with a free flame, the distillate extracted with Et2O, the Et2O evaporated, and the residue distilled

yielded 2, 6-lutidine, b. 139-41°; picrate, m. 100.5-102°. The di-K salt of the free acid of VI and 30 g. KMnO4 heated 4 hrs. on the steam bath in 500 cc. water, the mixture filtered, the filtrate evaporated to dryness in vacuo, and the residue let stand 24 hrs. in 300 cc. MeOH saturated with HCl yielded tetra-Me 2, 3, 5, 6-pyridinetetracarboxylate (X), m. 118-19° (from Et20-Me2CO). IV (49 g.) in 50 cc. dry Et20 treated with 20 g. I, the mixture heated 30 min. on the steam bath, the liquid in 100 cc. Et20 washed with dilute Na2CO3 and water and dried, the Et20 evaporated,

and the residue distilled yielded 36 g. di-Et 5-cyano-6-methyl-2,3-pyridinedicarboxylate (XI), b0.8 150°, b1 155°, nD25 1.5123, d2525 1.1708. Similar expts. in AcOH and absolute EtOH yielded 72 and 70%, resp., XI, b0.4  $145-6^{\circ}$ . XI (6.2 g.) and 4 g. NaOH refluxed 3 hrs. in 25 cc. water and 10 cc. EtOH and the solution digested with 200 cc. EtOH yielded 6.0 g. Na salt (XII) of 6-methyl-2, 3, 5-pyridinetricarboxylic acid. XII (5.8 g.) in 150 cc. water treated with 6.32 g. KMnO4 in 100 cc. hot water, the solution heated overnight on the steam bath, filtered, evaporated to dryness, and esterified with MeOH and HCl yielded 2 g. X, m. 118-19°. XII (3 g.) in 100 cc. MeOH saturated with HCl let stand 24 hrs. yielded the tri-Me ester (XIII), m. 78.5-9.5° (from Et20). XII yielded the tris(p-bromophenacyl) ester, m.  $190-2^{\circ}$  (from dioxane-EtOH-water). IV (32 g.) in 25 cc. Et20 treated with 18 g. II, the mixture heated 30 min. on the steam bath, and distilled yielded 36 g. tri-Et 6-methyl-2, 3, 5-pyridinetricarboxylate (XIV), b0.5 160°, nD25 1.500, d2525 1.168. XIV sapond. with NaOH and esterified with MeOH and HCl yielded XIII, m. 78.5-9.5°. III and IV yielded 65-70% di-Et 5-acetyl-6-methyl-2,3pyridinedicarboxylate (XV), b0.5 165-7°, m. 62-3°. XV (1 g.) moistened with alc., treated with 3 cc. 12 N NaOH, the mixture warmed a short time, diluted with 10 cc. water, and acidified with HCl yielded the free acid (XVI), m. 165-6° (decomposition) (from water). XVI (1 g.) treated with 25 cc. cold 5 N NaOH containing 1 g. Cl, the mixture let stand 1 hr., warmed 1 hr. on the steam bath, evaporated to dryness in vacuo, and the residue treated with MeOH containing HCl yielded XIII. IV (24.5 g.) in 100 cc. Et20 treated with 18 g. MeC(NH2):CHCONHPh, the solution let stand overnight, diluted with 200 cc. petr. ether, and chilled yielded 23 g. di-Et 5-carboxanilido-6-methyl-2,3-pyridinedicarboxylate, m. 121-2° (from C6H6-petr. ether). V (21.3 g.) and 10 g. I in 50 cc. Et2O yielded 11 g. Et 3-acetyl-5-cyano-6-methyl-2-pyridinecarboxylate (XVII), b0.8  $132-7^{\circ}$ , m.  $94.5-95^{\circ}$  (from Et20-petr. ether). XVII shaken with 5 N NaOH and the solution acidified with HCl yielded the free acid (XVIII), m.  $154-6^{\circ}$  (decomposition) (from water). XVIII treated with NaOCl, hydrolyzed, and esterified yielded XIII. V (21.4 g.) and 13 g. II yielded 23 g. di-Et 3-acetyl-6-methyl-2,5-pyridinedicarboxylate (XIX), b2.5 180-5° m. 67-8°. XIX on sapon. yielded the free acid (XX), m.  $210-13^{\circ}$  (decomposition) (from water). XX on treatment with NaOCl yielded XIII. V (125 g.) and 58.5 g. III in 275 cc. Et2O let stand overnight, the solid filtered off, heated to boiling in 100

cc. EtOAc, and chilled yielded 37.5g. Et  $\beta$ -[(1-methyl-3-oxo-1-butenylamino)methylene]- $\alpha$ ,  $\gamma$ -dioxo-valerate (presumably), m. 164-5° (from EtOAc and C6H6petr. ether). The combined filtrates evaporated to dryness in vacuo, the residue in warm Et20 diluted with petr. ether until cloudy and chilled yielded 38.5 q. Et 3, 5-diacetyl-6-methyl-2-pyridinecarboxylate, m.  $96-7^{\circ}$  (from Et20-petr. ether); free acid (XXI) m.  $139-40^{\circ}$ (decomposition) (from water). XXI on oxidation yielded XIII. CF3CO(:CHOEt)CO2Et (18 q.) and 11 q. II yielded 19 q. di-Et 2-methyl-6-trifluoromethyl-3, 5-pyridinedicarboxylate, bl 115-17°, nD25 1.4647, d2525 1.261. 408539-34-4P 412337-02-1P ΙT RL: SPN (Synthetic preparation); PRP (Properties); RCT (Reactant) ; PREP (Preparation); RACT (Reactant or reagent) (Pyridine syntheses. I. Some reactions of "ene amines" with 1, 3-dicarbonyl derivatives) RN 408539-34-4 HCAPLUS CN 2,3-Pyridinedicarboxylic acid, 5-cyano-6-methyl-, 2,3-diethyl ester (CA INDEX NAME)

RN 412337-02-1 HCAPLUS
CN 2,3,5-Pyridinetricarboxylic acid, 6-methyl-, 2,3,5-triethyl ester (CA INDEX NAME)

IT 14660-47-0P, 2,3,5,6-Pyridinetetracarboxylic acid, tetramethyl ester 113051-93-7P, Quinolinic acid, 5-acetyl-6-methyl-, diethyl ester 855941-06-9P, Acetophenone, 4'-bromo-2-hydroxy-, triester with 6-methyl-2,3,5-pyridinetricarboxylic acid 858474-73-4P,

Quinolinic acid, 6-methyl-5-phenylcarbamoyl-, diethyl ester RL: PREP (Preparation)

(preparation of)

RN 14660-47-0 HCAPLUS

CN 2,3,5,6-Pyridinetetracarboxylic acid, 2,3,5,6-tetramethyl ester (CA INDEX NAME)

RN 113051-93-7 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 5-acetyl-6-methyl-, 2,3-diethyl ester (CA INDEX NAME)

RN 855941-06-9 HCAPLUS

CN 2,3,5-Pyridinetricarboxylic acid, 6-methyl-, 2,3,5-tris[2-(4-bromophenyl)-2-oxoethyl] ester (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} C = O \\ CH_2 \\ O \\ C = CH_2 - O - C \end{array}$$

PAGE 2-A

Br

RN 858474-73-4 HCAPLUS

CN 2,3-Pyridinedicarboxylic acid, 6-methyl-5-[(phenylamino)carbonyl]-, 2,3-diethyl ester (CA INDEX NAME)